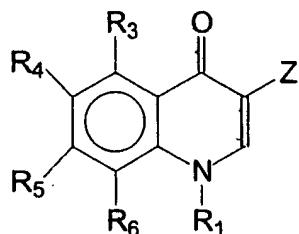


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What is claimed is:

1. A compound of the following formula (I), or a tautomer or pharmaceutically acceptable salt thereof:

5



wherein R<sub>1</sub> is selected from -H, -C<sub>1-6</sub> alkyl, or -C<sub>1-6</sub> alkyl substituted with R<sub>7</sub>;

- 10 Z is selected from -C(O)OR<sub>2</sub> or -C(O)CH<sub>2</sub>C(O)X;

X is selected from:

- (a) -a 5 or 6-membered aromatic or heteroaromatic ring, containing 0, 1 ,2, 3 or 4 heteroatoms selected from oxygen, nitrogen and sulfur, unsubstituted or independently substituted on a nitrogen or carbon atom by at least one substituent selected from halogen, C<sub>1-6</sub> alkyl, or phenyl, or
- 15 (b) -C(O)OR<sub>2</sub>;

R<sub>2</sub> is selected from -H or -C<sub>1-6</sub> alkyl;

20

R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are each independently selected from -H, -halogen, -C<sub>1-6</sub> alkyloxy-, -N(R<sub>8</sub>)(R<sub>9</sub>), -C(O)CH<sub>3</sub>, -C(O)CH<sub>2</sub>C(O)X, -S(O)<sub>n</sub>-R<sub>10</sub> wherein n is independently selected from 0, 1 and 2, heteroalkyl, cycloalkyl, substituted cycloalkyl, heterocycloalkyl, substituted heterocycloalkyl, aryl, substituted aryl, heteroaryl, or substituted heteroaryl;

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R<sub>7</sub> independently selected from heteroalkyl, cycloalkyl, substituted cycloalkyl, heterocycloalkyl, substituted heterocycloalkyl, aryl, substituted aryl, heteroaryl, or substituted heteroaryl;

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each R<sub>8</sub> and R<sub>9</sub> is independently selected from -H or -C<sub>1-2</sub> alkyl; and

each R<sub>10</sub> is independently selected from -C<sub>1-6</sub> alkyl, pyridyl, or phenyl, wherein the phenyl is unsubstituted or substituted on a carbon atom by least one substituent selected  
10 from halogen, -CH<sub>3</sub>, -OR<sub>2</sub>, or -NO<sub>2</sub>;

provided that if Z is -C(O)OR<sub>2</sub> then at least one of R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> or R<sub>6</sub> is -C(O)CH<sub>2</sub>C(O)X.

2. The compound of claim 1, wherein Z is -C(O)CH<sub>2</sub>C(O)X and R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and  
15 R<sub>6</sub> are not -C(O)CH<sub>2</sub>C(O)X.

3. The compound of claim 2, wherein X is -C(O)OR<sub>2</sub>.

4. The compound of claim 3, wherein R<sub>2</sub> is -H or ethyl; R<sub>3</sub> and R<sub>6</sub> are each -H;  
20 R<sub>4</sub> and R<sub>5</sub> are each independently -H or -halo; and R<sub>1</sub> is 4-fluorophenylmethyl.

5. The compound of claim 3, wherein R<sub>2</sub> is -H or alkyl; and R<sub>1</sub> is 4-fluorophenylmethyl.

25 6. The compound of claim 1, wherein R<sub>7</sub> is independently selected from pyridyl, thiienyl, naphthyl or phenyl, wherein the phenyl is unsubstituted or independently substituted on a carbon atom by at least one substituent selected from halogen, -CH<sub>3</sub>, -OR<sub>2</sub>, or -NO<sub>2</sub>.

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7. The compound of claim 1, wherein Z is  $-C(O)CH_2C(O)C(O)OR_2$  and  $R_1$  is  $C_{1-6}$  alkyl, or  $-C_{1-6}$  alkyl substituted with  $R_7$ .
- 5        8. The compound of claim 4, wherein  $R_2$ ,  $R_4$  and  $R_5$  are each -H.
9. The compound of claim 4, wherein  $R_2$  is -H and  $R_4$  and  $R_5$  are each -H or -Cl wherein at least one of  $R_4$  or  $R_5$  is -Cl.
- 10      10. The compound of claim 7, wherein  $R_1$  is a halogen-substituted arylalkyl.
11. The compound of claim 1, wherein Z is  $-C(O)OR_2$  and at least one of  $R_3$ ,  $R_4$ ,  $R_5$  or  $R_6$  is  $-C(O)CH_2C(O)X$ .
- 15      12. The compound of claim 11, wherein  $R_4$  is  $-C(O)CH_2C(O)X$ .
13. The compound of claim 12, wherein  $R_1$  is a halogen-substituted arylalkyl.
14. The compound of claim 13, wherein  $R_4$  is  $-C(O)CH_2C(O)C(O)OR_2$ ,  $R_2$  is -H or ethyl, and  $R_1$  is 4-fluorophenylmethyl.
- 20      15. The compound of claim 1, wherein at least one of  $R_3$ ,  $R_4$ ,  $R_5$  and  $R_6$  is a 5 or 6-membered heteroalicyclic ring containing 1 or 2 nitrogen heteroatoms.
- 25      16. A pharmaceutical composition comprising the formula (I) compound of claim 1, and a pharmaceutically acceptable carrier.

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17. A pharmaceutical composition comprising the formula (I) compound of  
claim 4, and a pharmaceutically acceptable carrier.

18. A pharmaceutical composition comprising the formula (I) compound of  
5 claim 11, and a pharmaceutically acceptable carrier.

19. A method of treating or preventing AIDS or HIV infection in a subject, the  
method comprising administering to the subject a therapeutically effective amount of at  
least one formula (I) compound of claim 1.

10 20. The method of claim 19, comprising treating HIV infection in a subject.

21. The method of claim 19, wherein the method of treatment helps to prevent  
or delay the onset of infection by HIV.

15 22. The method of claim 19, comprising orally administering the formula (I)  
compound.

23. The method of claim 19, comprising parenterally, sublingually, intranasally,  
20 intrathecally, topically, ophthalmically or rectally administering the formula (I)  
compound.

24. The method of claim 19, wherein the formula (I) compound comprises a  
compound wherein Z is  $-C(O)CH_2C(O)X$  and R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are not -  
25 C(O)CH<sub>2</sub>C(O)X.

25. The method of claim 24, wherein the formula (I) compound comprises a  
compound wherein X is  $-C(O)OR_2$ .

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26. The method of claim 25, wherein the formula (I) compound comprises a compound wherein R<sub>2</sub> is -H or ethyl; R<sub>3</sub> and R<sub>6</sub> are each -H; R<sub>4</sub> and R<sub>5</sub> are each independently -H or -halo; and R<sub>1</sub> is 4-fluorophenylmethyl.

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27. The method of claim 19 wherein the formula (I) compound comprises a compound wherein Z is -C(O)OR<sub>2</sub> and at least one of R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> or R<sub>6</sub> is -C(O)CH<sub>2</sub>C(O)X.

10

28. The method of claim 27 wherein the formula (I) compound comprises a compound wherein R<sub>4</sub> is -C(O)CH<sub>2</sub>C(O)C(O)OR<sub>2</sub>, R<sub>2</sub> is -H or ethyl, and R<sub>1</sub> is 4-fluorophenylmethyl.

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29. The method of claim 26, comprising treating HIV infection in a subject.

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30. The method of claim 28, comprising treating HIV infection in a subject.

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31. A method of inhibiting a retroviral integrase, the method comprising exposing the HIV integrase to an integrase inhibiting amount of at least one formula (I) compound of claim 1.

25

32. The method of claim 31, wherein the formula (I) compound comprises a compound wherein Z is -C(O)CH<sub>2</sub>C(O)X and R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are not -C(O)CH<sub>2</sub>C(O)X.

33. The method of claim 32, wherein the formula (I) compound comprises a compound wherein X is -C(O)OR<sub>2</sub>.

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34. The method of claim 33, wherein the formula (I) compound comprises a compound wherein R<sub>2</sub> is -H or ethyl; R<sub>3</sub> and R<sub>6</sub> are each -H; R<sub>4</sub> and R<sub>5</sub> are independently -H or -halo; and R<sub>1</sub> is 4-fluorophenylmethyl.

5 35. The method of claim 31 wherein the formula (I) compound comprises a compound wherein Z is -C(O)OR<sub>2</sub> and at least one of R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> is -C(O)CH<sub>2</sub>C(O)X.

10 36. The method of claim 35 wherein the formula (I) compound comprises a compound wherein R<sub>4</sub> is -C(O)CH<sub>2</sub>C(O)C(O)OR<sub>2</sub>, R<sub>2</sub> is -H or ethyl, and R<sub>1</sub> is 4-fluorophenylmethyl.

15 37. The method of claim 31, comprising inhibiting a HIV integrase.  
38. The method of claim 31, comprising inhibiting strand transfer catalyzed by  
HV integrase.

20 39. The method of claim 31, comprising inhibiting incorporation of a donor  
strand DNA into a receiving strand DNA.

40. A method of screening for an anti-HIV integrase drug, comprising:  
providing an assay of HIV integrase inhibition; and  
using the assay to screen for drugs comprising analogs or derivatives of any of  
the compounds of claim 1.

25 41. A method of treating or preventing AIDS or HIV infection in a subject, the  
method comprising administering to the subject a therapeutically effective amount of a  
pharmaceutical composition of claim 16.

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42. A method of treating or preventing AIDS or HIV infection in a subject, the method comprising administering to the subject a therapeutically effective amount of a pharmaceutical composition of claim 17.

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43. A method of treating or preventing AIDS or HIV infection in a subject, the method comprising administering to the subject a therapeutically effective amount of a pharmaceutical composition of claim 18.